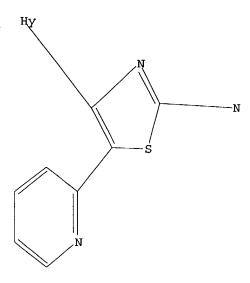


chain nodes : 13 14 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 chain bonds : 5-8 9-13 11-14 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 exact/norm bonds : 9-10 9-13 10-11 11-14 exact bonds : 5-8 7-8 7-11 8-9 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 : 7 :

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom`10:Atom
11:Atom 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 11:05:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 478 TO ITERATE

100.0% PROCESSED 478 ITERATIONS 18 ANSWERS

SEARCH TIME: 00.00.01

L2 18 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
155.42
155.90

FILE 'CAPLUS' ENTERED AT 11:05:12 ON 24 AUG 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 24 Aug 2004 VOL 141 ISS 9 FILE LAST UPDATED: 23 Aug 2004 (20040823/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS ON STN SSION NUMBER: 2004:267326 CAPLUS MENT NUMBER: 140:287371

ACCESSION NUMBER: DOCUMENT NUMBER:

140:287371 Preparation of 2-(oxazol-4-yl)pyridines and related compounds as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic TITLE:

Inhibitors for the treatment of cancer and in diseases Blumberg, Laura Cook; Munchhof, Michael John Pfizer Products Inc., USA PCT Int. Appl., 72 pp. CODEN: PIXXD2 Patent English INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	W:	ΑE,	AG,	AL,	AM,	AT.	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE.	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM.	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,
		LS.	LT,	LU,	LV,	MA,	MD.	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM,	TN,	TR,	TT.
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW.	AM,	AZ,	BY,	KG,	KZ,
		MD.	RU,	TJ,	TM												
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,
		CH.	CY.	CZ.	DE.	DK.	EE.	ES.	FI.	FR.	GB,	GR,	HU.	IE,	IT,	LU,	MC.
		NL.	PT.	RO.	SE.	SI.	SK.	TR.	BF.	BJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GO.
							TD.										
					A1					US 2003-667187					20030917		
RITY	APP	LN.	INFO	. :					1	US 2	002-	4121:	20P		P 2	0020	918

OTHER SOURCE(S): MARPAT 140:287371

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 14 CITED REFERENCES AVAILABLE FOR

US 2003-484581P

P 20030702

RECORD. ALL CITATIONS AVAILABLE IN THE RE

ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

Title compds. I and II [X = 0, S; R1 = (un)saturated aromatic,

AB Title compds. I and II [X = 0, S; Rl = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 = H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Stille coupling of bromide III e.g., prepared from benzo(l, 3)dioxole-5-carboxaldehyde in 2-steps, and 2-bromo-6-methylpyridine afforded oxazole IV in 70% yield. In βl-transforming growth factors kinase assays, 10-examples of compds. I and II exhibited IC50 values ranging from 19.7-600 nM. Of note, compds. I and II also possess differential activity, i.e. are selective for βl-TGF over β2-TGF and β3-TGF. Compds. I and II are claimed useful for the treatment of TGF-related disease states including cancer and fibrotic diseases.

If 67:65-90-5P
RL: PAC (Pharmacological activity): SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Uses)
(preparation of 2-(oxazol-4-yl)pyridines and related compds. as transforming
growth factor (TGF) inhibitors for the treatment of cancer and fibrotic
diseases)
RN 676165-90-5 CAPLUS
CN 2-Thiazolamine, 4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)- (9CI)
(CA INDEX NAME)

L3 ANSWER 2 OF 4
ACCESSION NUMBER:
DOCUMENT NUMBER:
TITLE:

INVENTOR(5):
PATENT TASSIGNEE(5):
COOURT TYPE:
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT ACC. NUM. COUNT:
PATENT TRORMATION:
FAMILY ACC. NUM. COUNT:
PATENT TRORMATION:
FAMILY ACC. NUM. COUNT:
PATENT TRORMATION:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:

COOR PATENT ACC. NUM. COUNT:
PATENT INFORMATION:
FAMILY ACC. NUM. COUNT:
FAMILY ACC. NUM. C

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE PATENT NO.

WO 2004013135

W: AE, AG, AL,
CO, CR, CU,
GM, HR, HU,
LS, LT, LU,
FG, PH, PL,
TR, TT, TZ,
KG, KZ, MD,
RW: GH, GM, KE,
CH, CY, CZ,
NL, PT, RO,
GW, ML, MR,
PRIORITY APPLN. INFO.: A1 20040212 W0 2003-EP8496
AM, A7, AU, AZ, BA, BB, BB, BB, BR, BY, BZ,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB,
ID, II, IN, IS, JP, KE, KG, KP, KR, KZ,
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI,
PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZM,
RU
LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZM,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,
NE, SN, TD, TG
GB 2002-17751 A 20030729 CA, CH, CN, GD, GE, GH, LC, LK, LR, NO, NZ, OM, TJ, TM, TN, AM, AZ, BY, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, SN, TD, TG

GB 2002-17751 A 20020731 GB 2003-14698 A 20030624

OTHER SOURCE(S): MARPAT 140:181331 L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

This invention relates to novel 2-phenylpyridin-4-yl heterocycles (shown as I; variables defined below; e.g. II) that are inhibitors of the transforming growth factor, ('TGF')-\(\beta\) signaling pathway, in particular, the phosphorylation of Smad-2 or Smad-3 by the TGF-\(\beta\) type I or activin-like kinase ('ALK')-5 receptor, methods for their

I or activin-like kinase ('ALK')-5 receptor, methods for their preparation and their use in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway, e.g. fibrosis (no data). All examples of I show ALK-5 receptor modulator activity (having IC50 values at 0.4-275 nM) and TGF-B cellular activity (having IC50 values at 0.001-10 µM). 4-(4-(4-[2-tert-Butyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl) pyridin-2-yl)-phenyl)morpholine showed an ALK-5 receptor modulator activity of 34 nM and TGF-B cellular activity of 183 nM. N-(tetrahydropyran-4-yl)-4-(4-[2-isopropyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl)pyridin-2-yl)-plenzamide showed an ALK-5 receptor modulator activity of 25 nM and TGF-B cellular activity of (14 nM. Although the methods of preparation are not claimed, >150 example prepns. of I and .apprx.130 example prepns. of intermediates are included. For example,

was prepared in 37% yield by reacting 4-[4-[3-(6-methylpyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]pyridin-2-yl]phenol and NaH in DMF with 1-methyl-4-hydroxymethylimidazole followed by removal of the trityl group using HCl in MeOH; details are also given for preparation of the

reactants.

For I: A is furan, dioxolane, thiophene, pyrrole, imidazole, pyrrolidine, pyran, pyridine, pyrimidine, morpholine, piperidine, oxazole, isoxazole, oxazoline, oxazolidine, thiazole, isothiazole, thiadiazole, benzofuran, indole, isoindole, indazole, imidazopyridine, quinazoline, quinoline,

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-01-4 CAPLUS

CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

CAPLUS

RN 656238-02-5 CAFLUS CN 2-Thiazolamine, 4-[2-[4-(ethylsulfonyl)phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

656258-03-6 CAPLUS
Benzamide, 4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2pyridinyl]-M-(tetrahydro-2H-pyram-4-yl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) isoquinoline, pyrazole or triazole: X is N or CH; Rl is H, Cl-6alkyl, Cl-6alkoyk, halo, cyano, perfluoro Cl-6alkyl, elf-lococol-6alkoxy, N-NR5R6, -(CH2)nNR5R6, -O(CH2)nNR7R-O(CH2)n-Het, -O(CH2)nNR5R6, -ONSRRS, -COCKP2)nNR5R6, -OSCR7, -OSCRRSR6, -NR5GO2R7, -NR5GO2R7, -O(CH2)nCRSR, -NR5GO2R7, -O(CH2)NR5R6, -

n in the claims. 656258-00-39, 4-[2-(4-Chlorophenyl)pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-01-49, 4-[2-[4-(Trifluoromethoxy)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-02-59, 4-[2-[4-

(Ethanesul fonyl) phenyl | pyridin-4-yl}-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine \$56258-03-69, 4-[2-[4-[(Tetrahydropyran-4-yl)-amino| carbonyl | phenyl | pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine \$56258-04-79, 4-[2-[4-[(Morpholin-4-yl)-amine 656258-05-89, 4-[2-[4-[4-Ethylpyridin-2-yl)-1, 3-thiazol-2-amine \$65228-05-89, 4-[2-[4-[(4-Ethylpyridin-1-2-yl)-1, 3-thiazol-2-amine \$65258-05-99, 4-[2-[4-[(4-Ethylpyridin-2-yl)-1, 3-thiazol-2-amine \$65258-05-99, 4-[2-[4-(Morpholin-4-yl)-5-(5-methylpyridin-2-yl)-1, 3-thiazol-2-amine \$65258-07-09, 4-[2-[4-(Morpholin-4-yl)-phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine \$65258-07-09, 4-[2-[4-(Morpholin-4-yl)-phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine \$65258-08-19,

4-[2-[4-[2-(Pyrrolidin-1-y]) ethoxy|phenyl|pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine 656258-09-2P, 4-[2-[4-(Aminocarbonylmethoxy)phenyl|pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine 656258-10-5P, 4-[2-[4-[(Morpholin-4-yl)-5-(6-methylpyridin-2-yl)-1, 3-thiazol-2-amine 656258-11-6P, 4-[2-[4-[(Pyrrolidin-1-yl)-1, 3-thiazol-2-amine 656258-13-6P, 4-[2-[4-([omethylpyridin-2-yl)-1, 3-thiazol-2-amine 656258-13-6P, 4-[2-[4-[(fomethylpyridin-2-yl)-1, 3-thiazol-2-amine 656258-13-9P,

4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-

2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(drug candidate; preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-1ike kinase-5 inhibitors useful against fibrosis and other disorders)
656258-00-3 CAPJUS
2-Thiazolamine, 4-[2-(4-chlorophenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-04-7 CAPLUS
Morpholine, 4-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

656258-05-8 CAPLUS
Piperazine, 1-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl}-4-ethyl- (9CI) (CA INDEX NAME)

656258-06-9 CAPLUS

Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-prpholinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 656258-07-0 CAPLUS
CN 2-Thiazolamine,
5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-morpholinyl)phenyl]-4pyridinyl]- (9C1) (CA INDEX NAME)

656258-08-1 CAPLUS 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-[2-(1-pyrrolidinyl)ethoxylphenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

656258-09-2 CAPLUS Acetamide, 2-(4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl)henoxy]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-13-8 CAPLUS

Benzamide, 4-[4-[2-amino-5-[2-pyridiny1]-4-thiazoly1]-2-pyridiny1]-N-(tetrahydro-2H-pyra-4-y1)- (9CI) (CA INDEX NAME)

656258-14-9 CAPLUS 2-Thiazolamine, 4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L3 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-10-5 CAPLUS

Morpholine, 4-[[4-[4-[2-amino-5-[6-methyl-2-pyridinyl]-4-thiazolyl]-2pyridinyl)phenoxyl acetyl1- (9CI) (CA INDEX NAME)

656258-11-6 CAPLUS
2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(1-pyridinyl)-4-(2-[4-(1-(1-pyridinyl)-4-(1-(1-(1-pyridinyl)-4-(1-(1-(1-pyridinyl)-4-(

656250-12-7 CAPLUS
2-Thiazolamine, 4-[2-[4-[(dimethylamino)methyl]phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (SCI) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN SSION NUMBER: 2004:120850 CAPLUS MENT NUMBER: 140:163858

Preparation of aminothiazoles as inhibitors of the transforming growth factor-beta (TGF-\$\beta\$) signalling pathway Dodic, Nerina; Gellibert, Francoise Jeanne Smithkine Beecham Corporation, USA PCT Int. Appl., 69 pp. CODEN: PTXXD2 Patent English ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	ENT I				KIND DATE				APPLICATION NO.						DATE				
WO:	WO 2004013134					A2 20040212			WO 2003-EP8385						20030729				
WO:	0 2004013134					A3 20040325													
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	ıs,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX.	MZ,	NI,	NO,	NZ,	OM,		
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,		
		TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,		
		KG,	KZ,	MD,	RU														
	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,		
		CH,	CY,	CZ,	DE,	DK,	EΕ,	ES,	FI,	FR,	GB,	GR,	HU,	ΙĒ,	IT,	LU,	MC,		
		NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,		
		GW,	ML,	MR,	NE,	SN,	TD,	TG											
PRIORITY	APP	LN.	INFO	.:				GB 2002-17787					A 20020731						

OTHER SOURCE(S):

MARPAT 140:163858

STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [wherein either A=S and B=N, or A=N and B=S; X=CH or N; R1=H, alk(en)yl, perfluoroalkoxy, halo, CN, perfluoroalkyl, NH2 and derivs., (C2)NH2 and derivs., SO2NH2 and derivs., R2=H, perfluoro/alkyl, halo, CN; R3

H, halo; R4 = NH2; n = 1-4 with the provise that certain compds, are not considered] were prepared as inhibitors of the transforming growth factor-beta (TG-P) signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TG-P type I or activin-like kinase-5 (RLK-S) receptor for treatment and prevention of a disease state mediated by this pathway. For example, II was prepd by reaction of 2-bromo-4-methylpyridine with Me 6-methylpicolinate, Pd-cross coupling with 4-(methoxycarbonyl)phenylboronic acid, hydrolysis, acylation of 4-aminoterahydrofuran with the resulting acid, followed by solid phase cyclocondensation of III with thiourea. II showed an ALKS receptor modulator activity of 14 nM in an ALKS fluorescence polarization assay

TGF-β cellular activity of 29 nM in a cellular transcriptional assay. Thus, I are useful for treating or preventing a disease or condition mediated by ALK-5 inhibition, in particular kidney fibrosis.

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued) 656258-00-39, 4-[2-(4-chlorophenyl)pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-01-49,

4-[2-(4-Trifluoromethoxyphenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-02-5P, 4-[2-[4-

(Ethanesulfonyl)phenyl)pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-03-67, 4-{2-[4-[([Tetrahydropyran-4-yl)amino]carbonyl]phenyl)pyridin-4-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-03-67, 4-[2-[4-[(Morpholin-4-yl)-ql)arbonyl]phenyl]pyridin-4-yl)-5-[6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-03-99, 4-[2-[4-[(]-sthylpyridipreazin-4-yl)-arbonyl]phenyl]pyridin-4-yl]-5-[6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-03-99, 4-[2-[4-[Morpholin-4-yl]pyridin-2-yl)-1,3-thiazol-2-anine 656258-07-09, 4-[2-[4-[Morpholin-4-yl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-07-09, 4-[2-[4-[Morpholin-4-yl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-07-09, 4-[2-[4-[Morpholin-4-yl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-anine 656258-07-01P,

4-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-09-2P, 4-[2-[4-

[[(Aminocarbonyl)methyl]oxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)1,3-thiazol-2-amine 656258-10-5P, 4-[2-[4-[[[(Morpholin-4yl)carbonyl]methyl]oxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3thiazol-2-amine 656258-11-6P, 4-[2-[4-[([pyrrolldin-1yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2amine 656258-12-7P, 4-[2-[4-[(Dimethylamino]methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-13-8P,
4-[2-[4-[[(Ctrahpydropyran-4-yl)]mino]carbonyl]phenyl]pyridin-4-yl]-5(pyridin-2-yl)-1,3-thiazol-2-amine 656258-14-9P,

 $4-\left\{2-\left[4-\left(\mathsf{Morpholin}-4-\mathsf{yl}\right)\mathsf{phenyl}\right]\mathsf{pyridin}-4-\mathsf{yl}\right\}-5-\left(\mathsf{pyridin}-2-\mathsf{yl}\right)-1,3-\mathsf{thiazol-1}$ 2-amine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (inhibitor of TGF- β signaling pathway; preparation of aminothiazoles

as inhibitors of transforming growth factor-beta (TGF- β) signaling

pathway)
656258-00-3 CAPLUS
2-Thiazolamine, 4-[2-(4-chlorophenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-04-7 CAPLUS Morpholine, 4-[4-[4-[2-amino-5-[6-methyl-2-pyridinyl]-4-thiazolyl]-2-pyridinyl]benzoyl]- [9CI] (CA INDEX NAME)

656258-05-8 CAPLUS
Piperazine, 1-[4-(4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2pyridinyl)benzoyl]-4-ethyl- (SCI) (CA INDEX NAME)

656258-06-9 CAPLUS
2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-morpholinylmethyl)phenyl]-4-pyridinyl]- {9CI} (CA INDEX NAME)

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

RN 656258-01-4 CAPLUS
CN 2-Thiazolamine,
5-(6-methyl-2-pyridinyl)-4-[2-[4-(trifluoromethoxy)phenyl]4-pyridinyl]- (9CI) (CA INDEX NAME)

656258-02-5 CAPLUS 2-Thiazolamine, -[4-(eth)laulfonyl)phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

656258-03-6 CAPLUS
Benzamide, 4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9Cl) (CA INDEX NAME)

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

656258-07-0 CAPLUS
2-Thiazolamine,
methyl-2-pyridinyl)-4-[2-[4-(4-morpholinyl)phenyl]-4pyridinyl)- (9CI) (CA INDEX NAME)

656258-08-1 CAPLUS 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-(4-[2-(1-pyrrolidinyl)ethoxylphenyl]-4-pyridinyl)- (9C1) (CA INDEX NAME)

656258-09-2 CAPLUS Acetamide, 2-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl]-4-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-10-5 CAPLUS
Morpholine, 4-[[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxylacetyl]- (9CI) (CA INDEX NAME)

656258-11-6 CAPLUS 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(1-pyrrolidinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

656258-12-7 CAPLUS 2-Thiazolamine, 4-[2-[4-[(dimethylamino)methyl]phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L3 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:22749 CAPLUS
DOCUMENT NUMBER: 74:22749
TITLE: Synthesis of pyridyl- and quinolyl-substituted
2-aminothiazoles
AUTHOR(S): Taurins, Alfred: Blaga, Aurel
Dep. Chem., McGill Univ., Montreal, QC, Can.
Journal of Heterocyclic Chemistry (1970), 7(5),
1137-41
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANOUAGE: Brights
AB Five 2-amino-4-(x-pyridyl)- and 2-amino-4-(x-quinolyl)thiazoles (x = 2 or
3) were synthesized by the condensation of thiourea with
bromoacetylpyridines and -quinolines. The reaction of pyridyl
pyridylmethyl ketones with thiourea and halogens produced four
2-aminothiazoles possessing pyridyl substituents in 4- and 5-positions on
the thiazole ring. Treatment of N-(3-pyridyl)- and
with a-bromo ketones gave seven 2-(3-pyridyl)- and
2-(3-quinolyl)aminothiazoles. The uv spectra of the pyridyl- and
quinolyl-substituted 2-aminothiazoles were recorded.

IT 30235-32-69 3025-33-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30235-32-6 CAPLUS
CN Pyridine, 2,2'-(2-amino-4,5-thiazolediyl)di- (8CI) (CA INDEX NAME)

30235-33-7 CAPLUS
Pyridine, 2-[2-amino-4-(4-pyridyl)-5-thiazolyl]- (8CI) (CA INDEX NAME)

L3 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

656258-13-8 CAPLUS Benzamide, 4-[4-[2-amino-5-[2-pyridiny1]-4-thiazoly1]-2-pyridiny1]-N-(tetrahydro-2H-pyra-4-y1)- (9C1) (CA INDEX NVME)

656258-14-9 CAPLUS
2-Thiazolamine, 4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

=>

=> fil reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 19.48 175.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL ENTRY SESSION

CA SUBSCRIBER PRICE

-2.80 -2.80

FILE 'REGISTRY' ENTERED AT 11:05:31 ON 24 AUG 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3 DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

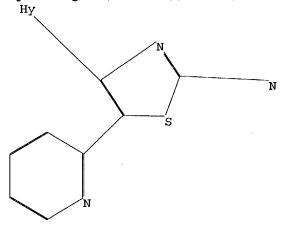
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\STNEXP4\QUERIES\10-667187.str



13 9 10 11 14

chain nodes : 13 14

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

```
chain bonds :
5-8 9-13 11-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
9-10 9-13 10-11 11-14
exact bonds :
5-8 7-8 7-11 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 7 :
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 13:CLASS 14:CLASS

Uploading C:\STNEXP4\QUERIES\10-667187a.str

Hy

S

N

9

10

11

14

chain nodes :
13 14
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-8 9-13 11-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
7-8 7-11 9-13 11-14
exact bonds :
5-8 8-9 9-10 10-11
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :

0 ANSWERS

containing 1 : 7 :

Match level :

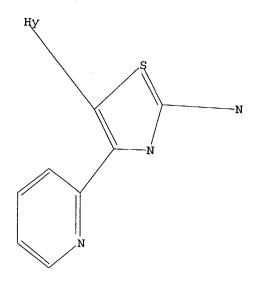
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 13:CLASS

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14 ful

FULL SEARCH INITIATED 11:06:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 157 TO ITERATE

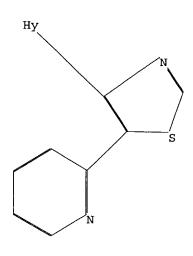
0 SEA SSS FUL L4

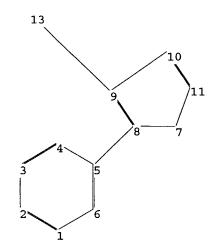
100.0% PROCESSED 157 ITERATIONS SEARCH TIME: 00.00.01

=> fil stnguide

L5

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 155.42 330.80 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -2.80





chain nodes :

ring nodes :

1 2 3 4 5 6 7 8 9 10 11

chain bonds : 5-8 9-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11

exact/norm bonds:
9-10 9-13 10-11
exact bonds:
5-8 7-8 7-11 8-9
normalized bonds:

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :
containing 1 : 7 :

Match level :

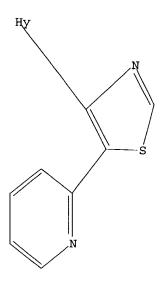
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 13:CLASS

L6 STRUCTURE UPLOADED

=> d

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 16 ful FULL SEARCH INITIATED 11:10:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1236 TO ITERATE

100.0% PROCESSED 1236 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L7 18 SEA SSS FUL L6

=> fil caplus SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 486.58 FULL ESTIMATED COST 155.42 TOTAL SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION 0.00 -2.80 CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 11:10:23 ON 24 AUG 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is

10-667187

Page 18

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3 DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

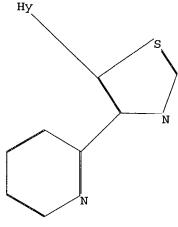
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

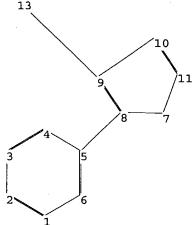
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\STNEXP4\QUERIES\10-667187d.str





chain nodes : 13 ring nodes : 1 2 3 4 5 6 7 8 9 10 11 chain bonds : 5-8 9-13 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 exact/norm bonds : 7-8 7-11 9-13 exact bonds : 5-8 8-9 9-10 10-11 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6 isolated ring systems : containing 1 : 7 :

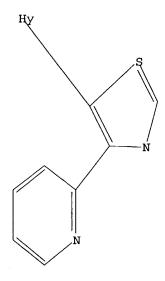
0 ANSWERS

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 13:CLASS

L11 STRUCTURE UPLOADED

=> d L11 HAS NO ANSWERS L11 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l11 ful

FULL SEARCH INITIATED 11:11:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2172 TO ITERATE

100.0% PROCESSED 2172 ITERATIONS

SEARCH TIME: 00.00.01

L12 0 SEA SSS FUL L11

=>

---Logging off of STN---

=>

Executing the logoff script...